

10578826a

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\* \* \* \* \* Welcome to STN International \* \* \* \* \*

|      |    |        |   |
|------|----|--------|---|
| NEWS | 1  |        | Web Page for STN Seminar Schedule - N. America  |
| NEWS | 2  | AUG 10 | Time limit for inactive STN sessions doubles to 40 minutes  |
| NEWS | 3  | AUG 18 | COMPENDEX indexing changed for the Corporate Source (CS) field  |
| NEWS | 4  | AUG 24 | ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced  |
| NEWS | 5  | AUG 24 | CA/CAPLUS enhanced with legal status information for U.S. patents   |
| NEWS | 6  | SEP 09 | 50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY   |
| NEWS | 7  | SEP 11 | WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus   |
| NEWS | 8  | OCT 21 | Derwent World Patents Index Coverage of Indian and Taiwanese Content Expanded                                 |
| NEWS | 9  | OCT 21 | Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models |
| NEWS | 10 | OCT 27 | Free display of legal status information in CA/CAPLUS, USPATFULL, and USPAT2 in the month of November.        |
| NEWS | 11 | NOV 23 | Addition of SCAN format to selected STN databases   |
| NEWS | 12 | NOV 23 | Annual Reload of IFI Databases  |

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,  
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS LOGIN Welcome Banner and News Items

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 12:49:45 ON 23 NOV 2009

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=>

Uploading

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Choice (Y/n):

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=> FILE REGISTRY

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST  | 0.22             | 0.22          |

FILE 'REGISTRY' ENTERED AT 12:50:07 ON 23 NOV 2009

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STRUCTURE FILE UPDATES: 22 NOV 2009 HIGHEST RN 1193309-59-9

DICTIONARY FILE UPDATES: 22 NOV 2009 HIGHEST RN 1193309-59-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

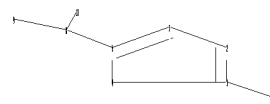
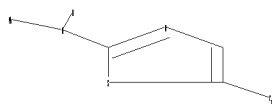
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10578826a.str

10578826a



chain nodes :  
8 9 11 13  
ring nodes :  
1 2 3 4 5  
chain bonds :  
3-11 5-8 8-9 8-13  
ring bonds :  
1-2 1-5 2-3 3-4 4-5  
exact/norm bonds :  
1-2 1-5 3-11 5-8  
exact bonds :  
2-3 3-4 4-5 8-9 8-13  
isolated ring systems :  
containing 1 :

G1:S,CH

G2:C,N

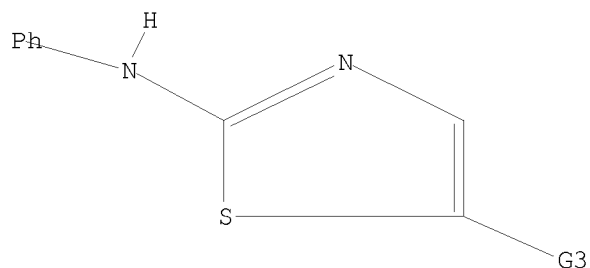
G3:Ph,Cy,Hy

Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 8:CLASS 9:CLASS 11:CLASS 13:CLASS

L1 STRUCTURE UPLOADED

10578826a

=> d l1  
L1 HAS NO ANSWERS  
L1 STR



G1 S,CH  
G2 C,N  
G3 Ph,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1  
SAMPLE SEARCH INITIATED 12:50:25 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 5512 TO ITERATE

36.3% PROCESSED 2000 ITERATIONS 4 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 105788 TO 114692  
PROJECTED ANSWERS: 21 TO 419

L2 4 SEA SSS SAM L1

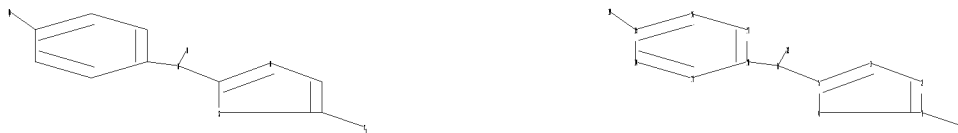
=> s l1 sss full  
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FULL SCREEN SEARCH COMPLETED - 111035 TO ITERATE

100.0% PROCESSED 111035 ITERATIONS 229 ANSWERS  
SEARCH TIME: 00.00.07

L3 229 SEA SSS FUL L1

=>  
Uploading C:\Program Files\Stnexp\Queries\10578826b.str

10578826a



```
chain nodes :  
8 10 12 19  
ring nodes :  
1 2 3 4 5 13 14 15 16 17 18  
chain bonds :  
3-10 5-8 8-12 8-18 15-19  
ring bonds :  
1-2 1-5 2-3 3-4 4-5 13-14 13-18 14-15 15-16 16-17 17-18  
exact/norm bonds :  
1-2 1-5 3-10 5-8 8-18 15-19  
exact bonds :  
2-3 3-4 4-5 8-12  
normalized bonds :  
13-14 13-18 14-15 15-16 16-17 17-18  
isolated ring systems :  
containing 1 : 13 :
```

G1:S,CH

G2:C,N

G3:Ph,Cy,Hy

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Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 8:CLASS 10:CLASS 12:CLASS 13:Atom  
14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
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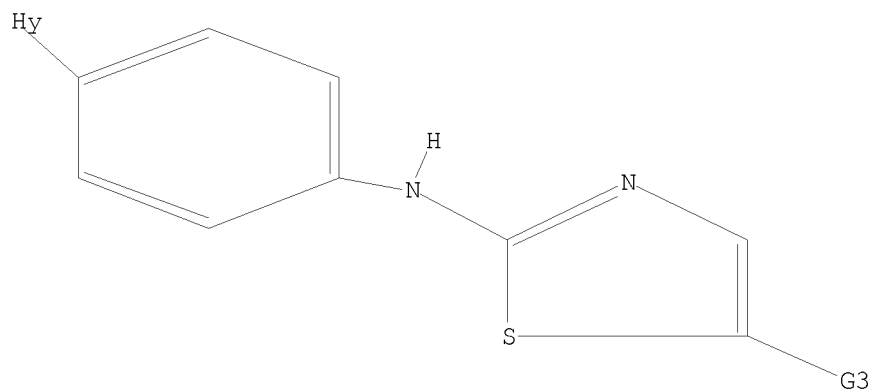
10578826a

L4            STRUCTURE UPLOADED

=> d 14

L4 HAS NO ANSWERS

L4            STR



G1 S,CH

G2 C,N

G3 Ph,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 12:54:31 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED -        5511 TO ITERATE

36.3% PROCESSED        2000 ITERATIONS

1 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:    ONLINE    \*\*COMPLETE\*\*

BATCH    \*\*COMPLETE\*\*

PROJECTED ITERATIONS:        105768 TO    114672

PROJECTED ANSWERS:            1 TO        154

L5            1 SEA SSS SAM L4

=> s 14 sss full

FULL SEARCH INITIATED 12:54:37 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -    110997 TO ITERATE

100.0% PROCESSED    110997 ITERATIONS

9 ANSWERS

SEARCH TIME: 00.00.07

L6            9 SEA SSS FUL L4

=> FIL HCAPLUS

10578826a

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST  | 374.64           | 374.86        |

FILE 'HCAPLUS' ENTERED AT 12:54:51 ON 23 NOV 2009  
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FILE COVERS 1907 - 23 Nov 2009 VOL 151 ISS 22  
FILE LAST UPDATED: 22 Nov 2009 (20091122/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

During November, try the new LSUS format of legal status information in the CA/Caplus family databases for free! Complete details on the number of free displays and other databases participating in this offer appear in NEWS 10.

=> d his

(FILE 'HOME' ENTERED AT 12:49:45 ON 23 NOV 2009)

FILE 'REGISTRY' ENTERED AT 12:50:07 ON 23 NOV 2009

|    |                    |
|----|--------------------|
| L1 | STRUCTURE UPLOADED |
| L2 | 4 S L1             |
| L3 | 229 S L1 SSS FULL  |
| L4 | STRUCTURE UPLOADED |
| L5 | 1 S L4             |
| L6 | 9 S L4 SSS FULL    |

FILE 'HCAPLUS' ENTERED AT 12:54:51 ON 23 NOV 2009

=> s l3

|    |       |
|----|-------|
| L7 | 75 L3 |
|----|-------|

10578826a

=> s 16

L8 3 L6

=> s 17 and py<=2003

24045074 PY<=2003

L9 53 L7 AND PY<=2003

=> s 18 and py<=2003

24045074 PY<=2003

L10 0 L8 AND PY<=2003

=> s 19 and p/dt

6945565 P/DT

L11 27 L9 AND P/DT

=> s 111 and us/pc

2005581 US/PC

L12 14 L11 AND US/PC

=> d 18 ibib abs hitstr tot

L8 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1367952 HCAPLUS

DOCUMENT NUMBER: 149:534221

TITLE: Preparation of thiazolyl-substituted  
imidazolylphenylamine derivatives and related  
compounds as modulators of amyloid beta

INVENTOR(S): Baumann, Karlheinz; Flohr, Alexander; Jacobsen,  
Helmut; Jolidon, Synese; Luebbers, Thomas

PATENT ASSIGNEE(S): Germany

SOURCE: U.S. Pat. Appl. Publ., 32pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.     | KIND   | DATE     | APPLICATION NO. | DATE     |
|----------------|--|----------|-----------------|----------|
| US 20080280948 | A1   | 20081113 | US 2008-114852  | 20080505 |
| WO 2008138753  | A1   | 20081120 | WO 2008-EP55290 | 20080430 |
| W:             | AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW |          |                 |          |
| RW:            | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM   |          |                 |          |

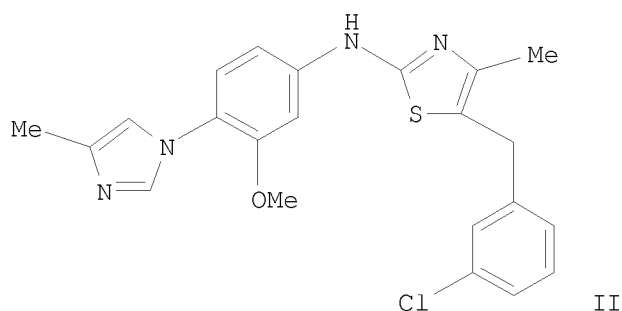
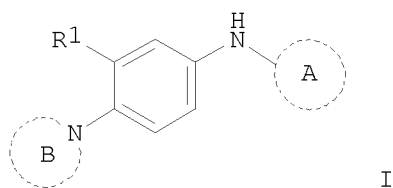
PRIORITY APPLN. INFO.: EP 2007-108004 A 20070511

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 149:534221

GI





AB Title compds. I [R1 = H, alkoxy or CN; ring A = (un)substituted thiazolyl; ring B = (un)substituted imidazolyl, 1H-1,2,4-triazolyl or 1H-1,2,3-triazolyl], and their pharmaceutically active acid addition salts, are prepared and disclosed as modulators of amyloid beta. Thus, e.g., II was prepared by cyclization reaction of 3-chloro-4-(3-chlorophenyl)-2-butanone with [3-methoxy-4-(4-methylimidazol-1-yl)phenyl]thiourea which was prepared from 2-chloro-5-nitroanisole and 4-methylimidazole in 4 steps. Selected I were evaluated for their activity to the inhibition of A $\beta$ 42 secretion in cellular  $\gamma$ -secretase assay with IC50 values < 1.0  $\mu$ M, e.g., II exhibited an IC50 value of 0.21  $\mu$ M. As modulators for amyloid beta and thus, I may be useful for the treatment or prevention of a disease associated with the deposition of  $\beta$ -amyloid in the brain, in particular Alzheimer's disease.

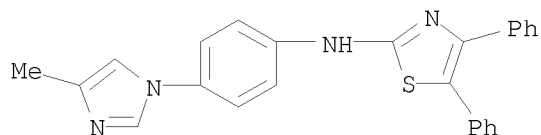
IT 1077629-59-4P, (4,5-Diphenylthiazol-2-yl)[4-(4-methylimidazol-1-yl)phenyl]amine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiazolyl-substituted imidazolylphenylamine derivs. and related compds. as modulators of amyloid beta)

RN 1077629-59-4 HCAPLUS

CN 2-Thiazolamine, N-[4-(4-methyl-1H-imidazol-1-yl)phenyl]-4,5-diphenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L8 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:805626 HCAPLUS

DOCUMENT NUMBER: 149:128819

TITLE: Preparation of diaminothiazole derivatives as Axl inhibitors

INVENTOR(S): Goff, Dane; Zhang, Jing; Singh, Rajinder; Holland, Sacha

PATENT ASSIGNEE(S): Rigel Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 84pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE     |
|---------------|--|----------|-----------------|----------|
| WO 2008080134 | A2   | 20080703 | WO 2007-US88717 | 20071221 |
| WO 2008080134 | A3   | 20080821 |                 |          |
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| RW:           | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA   |          |                 |          |

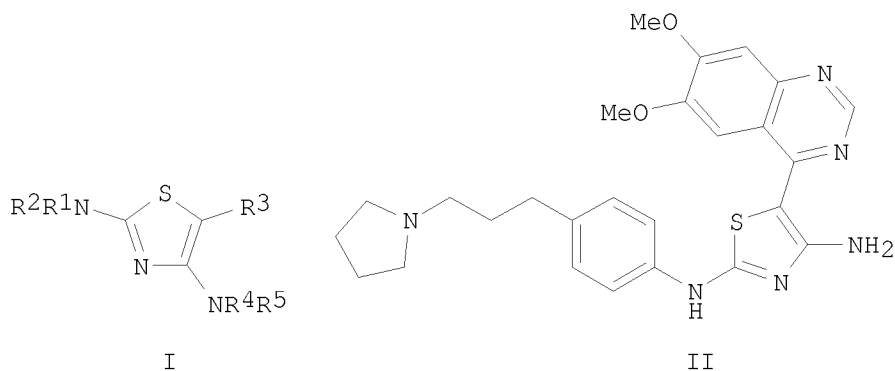
US 20080227789 A1 20080918 US 2007-963157 20071221

PRIORITY APPLN. INFO.: US 2006-876963P P 20061222

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 149:128819

GI



AB Title compds. represented by the formula I [wherein R1, R4, R5 = H, alkyl, aryl(alkyl), etc.; R2 = (un)substituted (hetero)aryl; R3 = (un)substituted heteroaryl; and isolated stereoisomers or mixture thereof, or pharmaceutically acceptable salts thereof] were prepared as inhibitors of receptor protein tyrosine kinase Axl. For example, II was provided in a multi-step synthesis starting from 4-(2-pyrrolidinoethoxy)aniline. I were tested for Axl activity in Phosoho-AKT in-cell western assay. Thus, I and their pharmaceutical compns. are useful for the treatment of diseases or conditions associated with Axl activity.

IT 1035994-50-3P, 5-(Isoquinolin-1-yl)-N-(4-morpholinophenyl)thiazole-2,4-diamine 1035994-56-9P, 5-(6,7-Dimethoxyquinazolin-4-yl)-N-(4-morpholinophenyl)thiazole-2,4-diamine 1035994-58-1P, 5-(6,7-Dimethoxyquinazolin-4-yl)-N-[4-(4-methylpiperazin-1-yl)phenyl]thiazole-2,4-diamine 1035994-60-5P, N-[4-[4-(Bicyclo[2.2.1]heptan-2-yl)piperazin-1-yl]phenyl]-5-(thieno[3,2-d]pyrimidin-4-yl)thiazole-2,4-diamine 1035994-62-7P, N-[4-[4-(Bicyclo[2.2.1]heptan-2-yl)piperazin-1-yl]phenyl]-5-(6,7-dimethoxyquinazolin-4-yl)thiazole-2,4-diamine

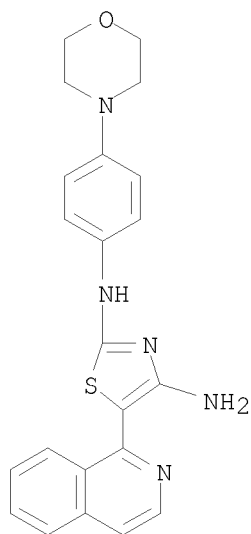
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diaminothiazole derivs. as Axl inhibitors)

RN 1035994-50-3 HCAPLUS

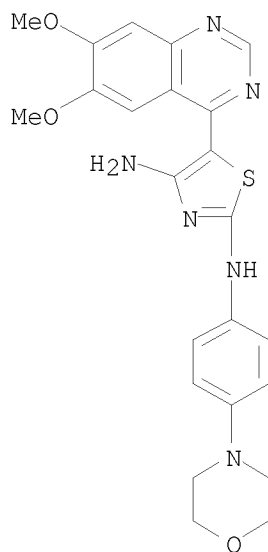
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RN 1035994-56-9 HCAPLUS

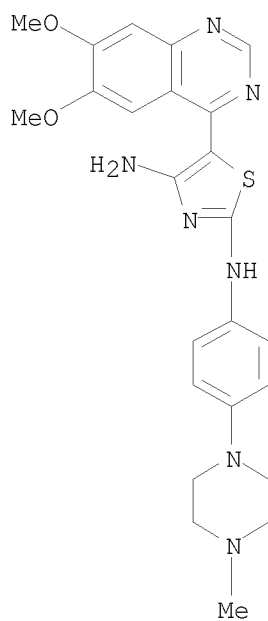
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RN 1035994-58-1 HCAPLUS

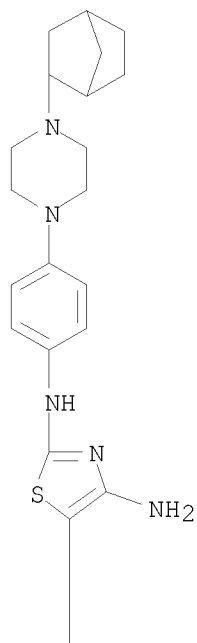
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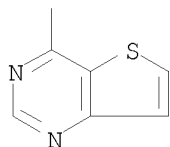
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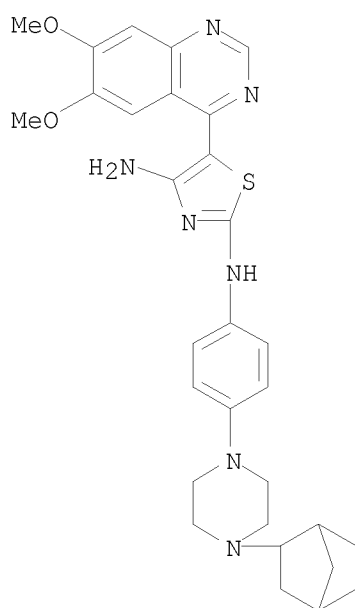
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PAGE 1-A





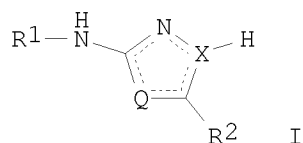
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L8 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2005:451371 HCAPLUS  
DOCUMENT NUMBER: 142:482040  
TITLE: Preparation of thiazole and pyrazole derivatives as Flt-3 kinase inhibitors  
INVENTOR(S): Bold, Guido; Floersheimer, Andreas; Furet, Pascal; Guagnano, Vito; Masuya, Keiichi; Vaupel, Andrea; Schoepfer, Joseph  
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.  
SOURCE: PCT Int. Appl., 64 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

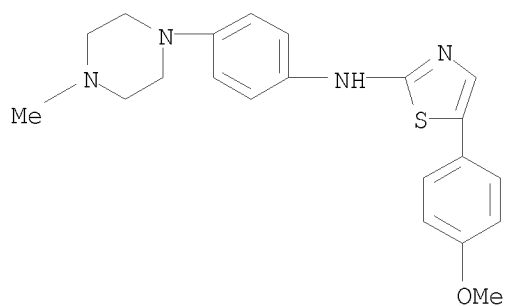
| PATENT NO. | KIND | DATE  | APPLICATION NO. | DATE  |
|------------|------|-------|-----------------|-------|
| -----      | ---- | ----- | -----           | ----- |

WO 2005047273 A1 20050526 WO 2004-EP12892 20041112  
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CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
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AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
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NE, SN, TD, TG  
AU 2004289447 A1 20050526 AU 2004-289447 20041112  
CA 2545350 A1 20050526 CA 2004-2545350 20041112  
EP 1687285 A1 20060809 EP 2004-818403 20041112  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS  
BR 2004016039 A 20070102 BR 2004-16039 20041112  
CN 1902186 A 20070124 CN 2004-80040374 20041112  
JP 2007511484 T 20070510 JP 2006-538814 20041112  
MX 2006005356 A 20060710 MX 2006-5356 20060512  
KR 2006108673 A 20061018 KR 2006-709281 20060512  
IN 2006CN01662 A 20070629 IN 2006-CN1662 20060512  
US 20070167449 A1 20070719 US 2006-578826 20061120  
AU 2009202639 A1 20090723 AU 2009-202639 20090629  
PRIORITY APPLN. INFO.: GB 2003-26601 A 20031114  
AU 2004-289447 A3 20041112  
WO 2004-EP12892 W 20041112  
OTHER SOURCE(S): CASREACT 142:482040; MARPAT 142:482040  
GI

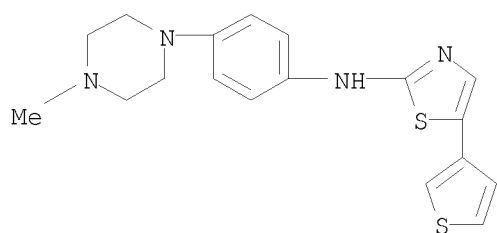


AB Title compds. I [Q = S and X = C or Q = CH and X = N; R1 = (un)substituted phenyl; R2 = (un)substituted (hetero)aryl] are prepared For instance, [5-phenylthiazol-2-yl][4-[2-(pyrrolidin-1-yl)ethoxy]phenyl]amine (II) is prepared from phenylacetaldehyde and [4-(2-(pyrrolidin-1-yl)ethoxy)phenyl]thiourea (preparation given). II has IC50 = 0.041  $\mu$ M for Flt-3 kinase. I are useful for the treatment of a proliferative disease, in particular such diseases which respond to inhibition of the Flt-3 kinase.  
IT 852045-50-2P 852045-68-2P 852045-78-4P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of thiazole and pyrazole derivs. as Flt-3 kinase inhibitors)  
RN 852045-50-2 HCAPLUS  
CN 2-Thiazolamine, 5-(4-methoxyphenyl)-N-[4-(4-methyl-1-piperazinyl)phenyl]-(CA INDEX NAME)

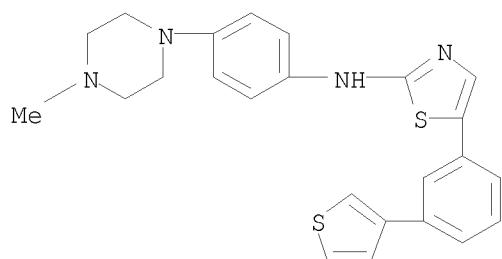
10578826a



RN 852045-68-2 HCAPLUS  
CN 2-Thiazolamine, N-[4-(4-methyl-1-piperazinyl)phenyl]-5-(3-thienyl)- (CA  
INDEX NAME)



RN 852045-78-4 HCAPLUS  
CN 2-Thiazolamine, N-[4-(4-methyl-1-piperazinyl)phenyl]-5-[3-(3-thienyl)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)  
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l12 ibib abs hitstr tot

L12 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2003:376556 HCAPLUS  
DOCUMENT NUMBER: 138:385437  
TITLE: Preparation of



5-(6-oxo-1,6-dihydro-3-pyridazinyl)-4-phenylthiazoles  
as adenosine receptor antagonists

INVENTOR(S): Tsutsumi, Hideo; Tabuchi, Seiichiro; Akahane, Atsushi;  
Yasuda, Hironobu; Omori, Hiroki; Temmaru, Kiyoshi;  
Zanka, Atsuhiko

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 183 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

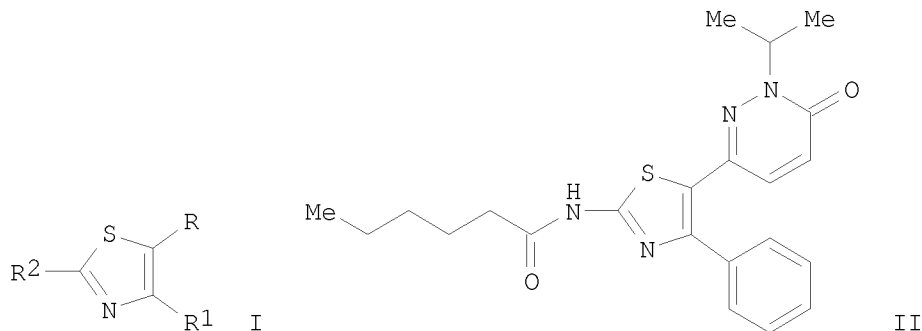
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE         |
|---|------|----------|-----------------|--------------|
| WO 2003039451   | A2   | 20030515 | WO 2002-JP11639 | 20021108 <-- |
| WO 2003039451   | A3   | 20030925 |                 |              |
| W: JP, US   |      |          |                 |              |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR                            |      |          |                 |              |
| EP 1441732  | A2   | 20040804 | EP 2002-802729  | 20021108     |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK |      |          |                 |              |
| JP 2005510508   | T    | 20050421 | JP 2003-541743  | 20021108     |
| US 20050004134  | A1   | 20050106 | US 2004-494033  | 20040507 <-- |
| PRIORITY APPLN. INFO.:  |      |          |                 |              |
|   |      |          | AU 2001-8749    | A 20011108   |
|   |      |          | AU 2001-9048    | A 20011123   |
|   |      |          | WO 2002-JP11639 | W 20021108   |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 138:385437

GI



AB Title compds. I [wherein R = (un)substituted 6-oxo-1,6-dihydro-3-pyridazinyl; R<sup>1</sup> = (un)substituted Ph; R<sup>2</sup> = H, NR<sup>4</sup>R<sup>5</sup>, or CXNR<sup>8</sup>R<sup>9</sup>; R<sup>4</sup> = H, alkyl, or alkenyl; R<sup>5</sup> = H, acyl, cycloalkyl, alkenyl, heterocyclyl, or (un)substituted alkyl or aryl; X = O or S; R<sup>8</sup> = H or alkyl; R<sup>9</sup> = H, cycloalkyl, alkoxy, (di)alkylamino, or (un)substituted alkyl; or NR<sup>8</sup>R<sup>9</sup> = (un)substituted saturated N-containing heterocyclyl; or pharmaceutically acceptable salt thereof] were prepared as adenosine

receptor antagonists. For example, 6-(1-bromo-2-oxo-2-phenylethyl)-2-isopropyl-3(2H)-pyridazinone was coupled with thiourea in EtOH to give 6-(2-amino-4-phenyl-1,3-thiazol-5-yl)-2-isopropyl-3(2H)-pyridazinone, which was amidated to provide II. The latter exhibited adenosine antagonistic activity against A1 and A2a receptors with  $K_i$  values of 0.27 nM and 1.46 nM, resp. In addition, administration of 3.2 mg/kg of II completely suppressed haloperidol-induced catalepsy in seven mice. Thus, I are useful for the treatment and/or prevention of numerous diseases, including cardiac and circulatory disorders, degenerative disorders of the central nervous system, respiratory disorders, and many diseases for which diuretic treatment is suitable (no data).

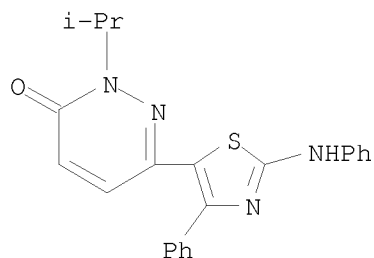
IT 524920-02-3P, 6-(2-Anilino-4-phenyl-1,3-thiazol-5-yl)-2-isopropyl-3(2H)-pyridazinone hydrobromide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(adenosine antagonist; preparation of (oxopyridazinyl)(phenyl)thiazoles as adenosine receptor antagonists for treatment of cardiac, circulatory, degenerative, and respiratory disorders)

RN 524920-02-3 HCAPLUS

CN 3(2H)-Pyridazinone, 2-(1-methylethyl)-6-[4-phenyl-2-(phenylamino)-5-thiazolyl]-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)  
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:964216 HCAPLUS

DOCUMENT NUMBER: 138:33356

TITLE: Medicinal compositions as p38MAP kinase and/or TNF- $\alpha$  production inhibitor for pain

INVENTOR(S): Ohkawa, Shigenori; Naruo, Kenichi; Morimoto, Shigeru; Nagase, Yoshinori; Miwatashi, Seiji

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 563 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE         |
|--|------|----------|-----------------|--------------|
| WO 2002100433  | A1   | 20021219 | WO 2002-JP5726  | 20020610 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,<br>CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,<br>GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,<br>LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,<br>PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,<br>UG, US, UZ, VN, YU, ZA, ZM, ZW<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,<br>CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,<br>BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |      |          |                 |              |
| CA 2450400   | A1   | 20021219 | CA 2002-2450400 | 20020610 <-- |
| AU 2002306341  | A1   | 20021223 | AU 2002-306341  | 20020610 <-- |
| JP 2003063993  | A    | 20030305 | JP 2002-168226  | 20020610 <-- |
| EP 1402900   | A1   | 20040331 | EP 2002-733431  | 20020610     |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                 |              |
| US 20050080113   | A1   | 20050414 | US 2003-480551  | 20031211 <-- |
| PRIORITY APPLN. INFO.:   |      |          | JP 2001-175224  | A 20010611   |
|  |      |          | JP 2001-175273  | A 20010611   |
|  |      |          | WO 2002-JP5726  | W 20020610   |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

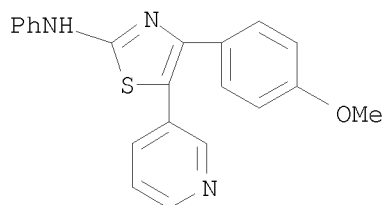
OTHER SOURCE(S): MARPAT 138:33356

AB Prevention/treatment for pain and/or suppression of the activation and/or inhibition of the formation of osteoclasts by using a p38MAP kinase inhibitor and/or a TNF- $\alpha$  production inhibitor. A method of HDL1 relieving a P 450-inhibitory effect of a compound having a pyridyl group or its salt characterized by introducing a substituent into the  $\alpha$ -position of the nitrogen atom in the pyridyl group of the above compound or its salt, or for relieving a P 450-inhibitory effect of a compound having a pyridyl group and an aromatic hydrocarbyl group or its salt characterized by introducing a polar group into the aromatic hydrocarbyl group of the above compound or its salt.

IT 97422-54-3 97422-55-4 97422-56-5  
 RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (medicinal compns. as p38MAP kinase and/or TNF- $\alpha$  production inhibitor for pain)

RN 97422-54-3 HCAPLUS

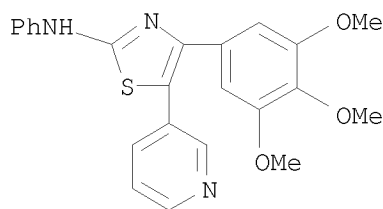
CN 2-Thiazolamine, 4-(4-methoxyphenyl)-N-phenyl-5-(3-pyridinyl)- (CA INDEX NAME)



RN 97422-55-4 HCAPLUS

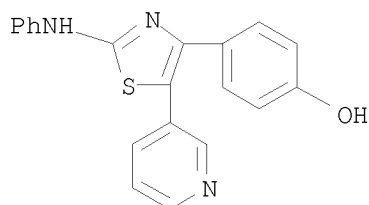
10578826a

CN 2-Thiazolamine, N-phenyl-5-(3-pyridinyl)-4-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



RN 97422-56-5 HCAPLUS

CN Phenol, 4-[2-(phenylamino)-5-(3-pyridinyl)-4-thiazolyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)  
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:504649 HCAPLUS

DOCUMENT NUMBER: 137:83638

TITLE: Concomitant drugs of p38MAP kinase inhibitors and/or TNF- $\alpha$  production inhibitors with other specified agents

INVENTOR(S): Ohkawa, Shigenori; Naruo, Kenichi; Miwatashi, Seiji

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 278 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE         |
|---------------|--|----------|-----------------|--------------|
| WO 2002051442 | A1   | 20020704 | WO 2001-JP11353 | 20011225 <-- |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW |          |                 |              |
| RW:           | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,  |          |                 |              |

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 CA 2436739 A1 20020704 CA 2001-2436739 20011225 <--  
 AU 2002217493 A1 20020708 AU 2002-217493 20011225 <--  
 JP 2002302458 A 20021018 JP 2001-392778 20011225 <--  
 EP 1354603 A1 20031022 EP 2001-271876 20011225 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 US 20040097555 A1 20040520 US 2003-451839 20030625 <--  
 PRIORITY APPLN. INFO.: JP 2000-396220 A 20001226  
 JP 2001-27572 A 20010202  
 WO 2001-JP11353 W 20011225

# ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 137:83638

AB Drugs comprising a combination of one or more p38MAP kinase inhibitors and/or TNF- $\alpha$  production inhibitors with one or more agents selected from the group consisting of: (1) nonsteroidal anti-inflammatory agents; (2) disease-modification antirheumatics; (3) anti-cytokine drugs; (4) immunomodulators; (5) steroidal drugs; and (6) c-JUN N-terminal kinase inhibitors. These concomitant drugs are useful as preventives and remedies for diseases such as rheumatism and arthritis and other diseases. For example, tablets containing [4-(3,5-dimethylphenyl)-5-(2-phenylmethoxy-4-pyridyl)-1,3-thiazol-2-yl]amine 50 mg/tablet are administered with tablets containing rofecoxib 5 mg/tablet.

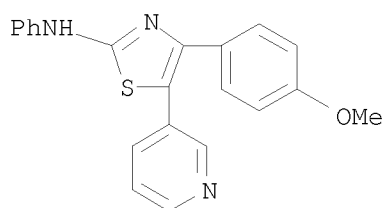
IT 97422-54-3P 97422-55-4P 97422-56-5P  
 224038-79-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(combination drugs containing p38MAP kinase inhibitors and/or TNF- $\alpha$  production inhibitors with other specified agents)

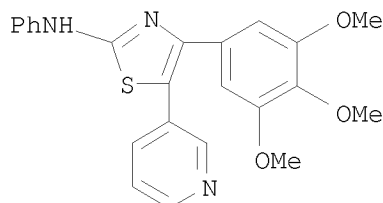
RN 97422-54-3 HCAPLUS

CN 2-Thiazolamine, 4-(4-methoxyphenyl)-N-phenyl-5-(3-pyridinyl)- (CA INDEX NAME)



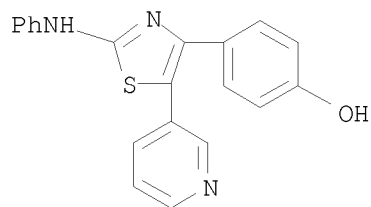
RN 97422-55-4 HCAPLUS

CN 2-Thiazolamine, N-phenyl-5-(3-pyridinyl)-4-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)

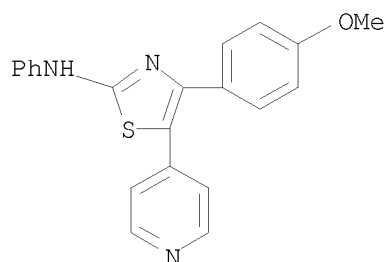


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RN 97422-56-5 HCAPLUS  
CN Phenol, 4-[2-(phenylamino)-5-(3-pyridinyl)-4-thiazolyl]- (CA INDEX NAME)



RN 224038-79-3 HCAPLUS  
CN 2-Thiazolamine, 4-(4-methoxyphenyl)-N-phenyl-5-(4-pyridinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)  
REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:581702 HCAPLUS

DOCUMENT NUMBER: 135:166823

TITLE: Preparation of 2,4-diaminothiazoles as GSK-3 inhibitors

INVENTOR(S): Bowler, Andrew Neil; Olesen, Preben Houlberg; Sorensen, Anders Robert; Hansen, Bo Falck; Worsaae, Helle; Kurtzhals, Peter

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

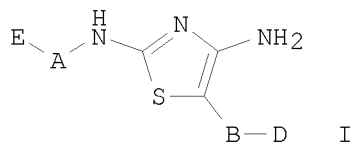
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE         |
|--|------|----------|-----------------|--------------|
| WO 2001056567  | A1   | 20010809 | WO 2001-DK73    | 20010201 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, |      |          |                 |              |

10578826a

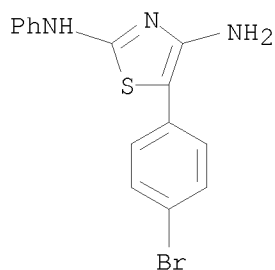
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,  
ZA, ZW  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
US 20010039275 A1 20011108 US 2001-774900 20010131 <--  
PRIORITY APPLN. INFO.: DK 2000-187 A 20000204  
US 2000-183518P P 20000218  
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
OTHER SOURCE(S): MARPAT 135:166823  
GI



AB The title compds. [I; E = alkyl, alkenyl, alkoxy, etc.; A = a bond, alkylene, CO; B = a bond, CO, SO, etc.; D = OH, halo, CN, etc.] which inhibit GSK-3 (glycogen synthase kinase-3) and which are useful for the treatment and/or prevention disorders and diseases wherein an inhibition of GSK-3 is beneficial, especially especially Alzheimer's disease, bipolar disorder,

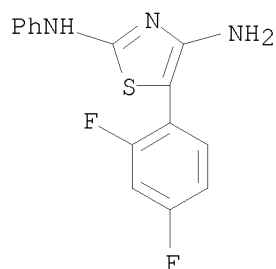
IGT (impaired glucose tolerance), Type 1 diabetes, Type 2 diabetes and obesity, were prepared and formulated. Thus, reacting 2-bromo-1-cyclopropylethanone with 1-phenyl-3-guanyltiourea afforded I [E = Ph; A = a bond; B = CO; D = cyclopropyl] which showed IC<sub>50</sub> of < 5  $\mu$ M against GSK-3.

IT 1102226-90-3 1102226-91-4 1102226-93-6  
RL: PRPH (Prophetic)  
(Preparation of 2,4-diaminothiazoles as GSK-3 inhibitors)  
RN 1102226-90-3 HCAPLUS  
CN 2,4-Thiazolediamine, 5-(4-bromophenyl)-N2-phenyl- (CA INDEX NAME)

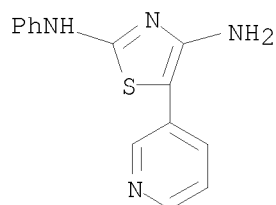


RN 1102226-91-4 HCAPLUS  
CN 2,4-Thiazolediamine, 5-(2,4-difluorophenyl)-N2-phenyl- (CA INDEX NAME)

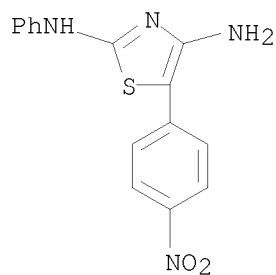
10578826a



RN 1102226-93-6 HCAPLUS  
CN 2,4-Thiazolediamine, N2-phenyl-5-(3-pyridinyl)- (CA INDEX NAME)



IT 353512-03-5P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 2,4-diaminothiazoles as GSK-3 inhibitors)  
RN 353512-03-5 HCAPLUS  
CN 2,4-Thiazolediamine, 5-(4-nitrophenyl)-N2-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)  
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2001:115147 HCAPLUS  
DOCUMENT NUMBER: 134:163031  
TITLE: Preparation of thiazole derivatives as p38MAP kinase inhibitors and inhibitors of TNF- $\alpha$  production



INVENTOR(S): Ohkawa, Shigenori; Naruo, Kenichi; Kimura, Hiroyuki;  
Miwatashi, Seiji  
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
SOURCE: PCT Int. Appl., 166 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE         |
|------------------------|--|----------|-----------------|--------------|
| WO 2001010865          | A1   | 20010215 | WO 2000-JP5198  | 20000803 <-- |
| W:                     | AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA |          |                 |              |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                 |              |
| CA 2381215             | A1   | 20010215 | CA 2000-2381215 | 20000803 <-- |
| EP 1205478             | A1   | 20020515 | EP 2000-951874  | 20000803 <-- |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL   |          |                 |              |
| JP 2001114690          | A  | 20010424 | JP 2000-242761  | 20000804 <-- |
| US 6962933             | B1   | 20051108 | US 2002-48937   | 20020206 <-- |
| PRIORITY APPLN. INFO.: |  |          | JP 1999-224651  | A 19990806   |
|                        |  |          | WO 2000-JP5198  | W 20000803   |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 134:163031

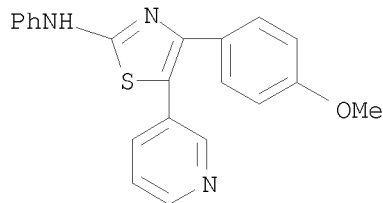
AB Claimed are p38MAP kinase inhibitors containing 1,3-thiazole compds. (substituted by optionally substituted pyridyl at the 5-position), or salts or prodrugs thereof. Compds. of this invention in vitro showed IC50 values of 0.086  $\mu$ M to 0.63  $\mu$ M against p38MAP kinase. Formulations are given.

IT 97422-54-3P 97422-55-4P 97422-56-5P  
224038-79-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of thiazole derivs. as p38MAP kinase inhibitors and inhibitors of TNF- $\alpha$  production)

RN 97422-54-3 HCAPLUS

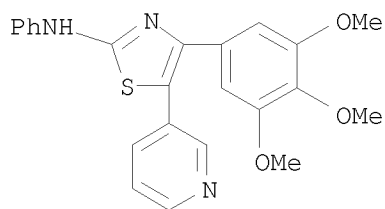
CN 2-Thiazolamine, 4-(4-methoxyphenyl)-N-phenyl-5-(3-pyridinyl)- (CA INDEX NAME)



RN 97422-55-4 HCAPLUS

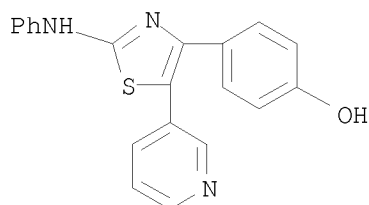
10578826a

CN 2-Thiazolamine, N-phenyl-5-(3-pyridinyl)-4-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



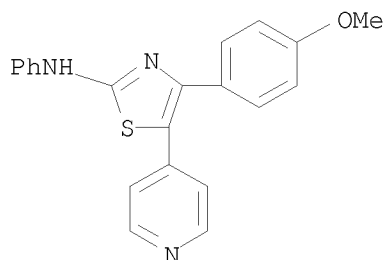
RN 97422-56-5 HCAPLUS

CN Phenol, 4-[2-(phenylamino)-5-(3-pyridinyl)-4-thiazolyl]- (CA INDEX NAME)



RN 224038-79-3 HCAPLUS

CN 2-Thiazolamine, 4-(4-methoxyphenyl)-N-phenyl-5-(4-pyridinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (34 CITINGS)  
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:881130 HCAPLUS

DOCUMENT NUMBER: 134:42124

TITLE: Preparation of diaminothiazoles for inhibiting protein kinases

INVENTOR(S): Chu, Shao Song; Alegria, Larry Andrew; Bender, Steven Lee; Benedict, Suzanne Pritchett; Borchardt, Allen J.; Kania, Robert Steve; Nambu, Mitchell David; Tempczyk-Russell, Anna Maria; Sarshar, Sepehr

PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA

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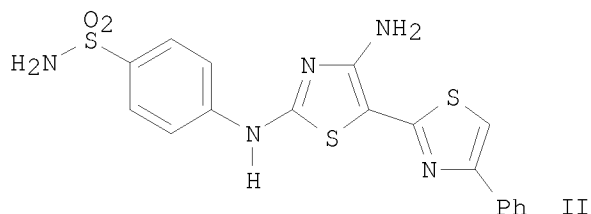
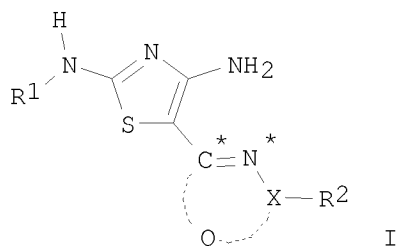
SOURCE: PCT Int. Appl., 397 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE         |
|---|------|----------|-----------------|--------------|
| WO 2000075120   | A1   | 20001214 | WO 2000-US15188 | 20000602 <-- |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW |      |          |                 |              |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |              |
| CA 2371158  | A1   | 20001214 | CA 2000-2371158 | 20000602 <-- |
| EP 1181283  | A1   | 20020227 | EP 2000-942660  | 20000602 <-- |
| EP 1181283  | B1   | 20050202 |                 |              |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |          |                 |              |
| BR 2000011585   | A    | 20020319 | BR 2000-11585   | 20000602 <-- |
| HU 2002002897   | A2   | 20021228 | HU 2002-2897    | 20000602 <-- |
| HU 2002002897   | A3   | 20041228 |                 |              |
| JP 2003501420   | T    | 20030114 | JP 2001-501601  | 20000602 <-- |
| EE 200100659  | A    | 20030217 | EE 2001-659     | 20000602 <-- |
| AU 778071   | B2   | 20041111 | AU 2000-57254   | 20000602     |
| AT 288424   | T    | 20050215 | AT 2000-942660  | 20000602     |
| ES 2234628  | T3   | 20050701 | ES 2000-942660  | 20000602     |
| US 20020025976  | A1   | 20020228 | US 2001-783584  | 20010215 <-- |
| US 6620828  | B2   | 20030916 |                 |              |
| ZA 2001008291   | A    | 20021009 | ZA 2001-8291    | 20011009 <-- |
| NO 2001005045   | A    | 20020204 | NO 2001-5045    | 20011017 <-- |
| IN 2001MN01339  | A    | 20050304 | IN 2001-MN1339  | 20011031     |
| MX 2001012483   | A    | 20020730 | MX 2001-12483   | 20011204 <-- |
| BG 106276   | A    | 20021031 | BG 2002-106276  | 20020103 <-- |
| PRIORITY APPLN. INFO.:  |      |          | US 1999-137810P | P 19990604   |
|   |      |          | US 2000-587530  | B1 20000602  |
|   |      |          | WO 2000-US15188 | W 20000602   |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 134:42124

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AB The title compds. [I; R1 = H, (un)substituted alkyl, cycloalkyl, etc.; R2 = OH, halo, CN, etc.; X = C, N; Q = a divalent radical having 2 or 3 atoms selected from C, N, O, S, CR5, NR5 (wherein R5 = OH, halo, CN, etc.) which together with C\* and N\* form a 5-6 membered (non)aromatic ring] which modulate and/or inhibit the activity of certain protein kinases (biol. data were given), and are useful in treating cancer as well as other disease states associated with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis, were prepared and formulated. E.g., a multi-step synthesis of diaminothiazole II was given. The compds. I and pharmaceutical compns. containing them are capable of mediating tyrosine kinase signal transduction in order to modulate and/or inhibit unwanted cell proliferation.

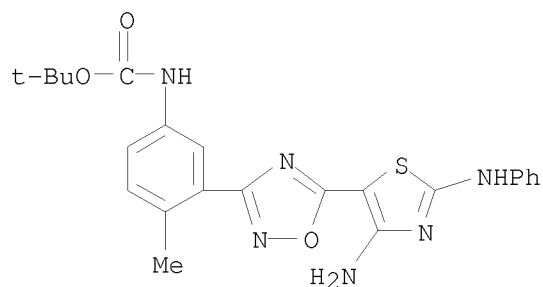
IT 312762-37-1P 312762-39-3P 312762-49-5P  
312762-86-0P 312763-67-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of diaminothiazoles for inhibiting protein kinases)

RN 312762-37-1 HCAPLUS

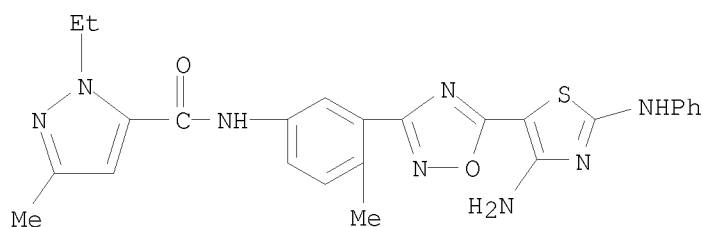
CN Carbamic acid, [3-[5-[4-amino-2-(phenylamino)-5-thiazolyl]-1,2,4-oxadiazol-3-yl]-4-methylphenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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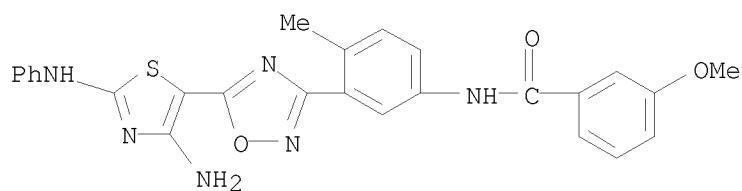
RN 312762-39-3 HCAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[3-[5-[4-amino-2-(phenylamino)-5-thiazolyl]-1,2,4-oxadiazol-3-yl]-4-methylphenyl]-1-ethyl-3-methyl- (CA INDEX NAME)



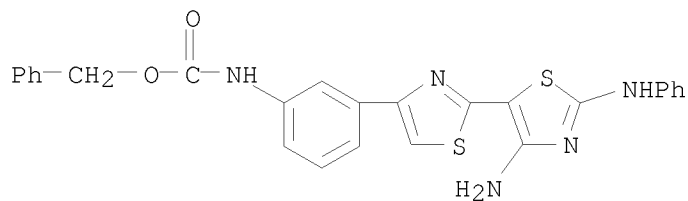
RN 312762-49-5 HCAPLUS

CN Benzamide, N-[3-[5-[4-amino-2-(phenylamino)-5-thiazolyl]-1,2,4-oxadiazol-3-yl]-4-methylphenyl]-3-methoxy- (CA INDEX NAME)



RN 312762-86-0 HCAPLUS

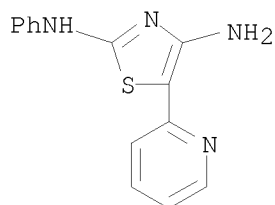
CN Carbamic acid, [3-[4'-amino-2'-(phenylamino)[2,5'-bithiazol]-4-yl]phenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 312763-67-0 HCAPLUS

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CN 2,4-Thiazolediamine, N2-phenyl-5-(2-pyridinyl)- (CA INDEX NAME)



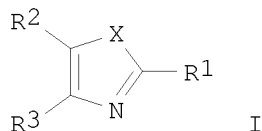
OS.CITING REF COUNT: 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS  
RECORD (23 CITINGS)  
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 1999:297304 HCAPLUS  
DOCUMENT NUMBER: 130:338100  
TITLE: Preparation of thiazoles as adenosine A3 receptor  
antagonists  
INVENTOR(S): Ohkawa, Shigenori; Kimura, Hiroyuki; Kanzaki, Naoyuki  
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
SOURCE: PCT Int. Appl., 127 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE         |
|------------------------|--|----------|-----------------|--------------|
| WO 9921555             | A2   | 19990506 | WO 1998-JP4837  | 19981026 <-- |
| WO 9921555             | A3   | 19990722 |                 |              |
| W:                     | AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU |          |                 |              |
| RW:                    | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                 |              |
| CA 2302417             | A1   | 19990506 | CA 1998-2302417 | 19981026 <-- |
| AU 9896480             | A  | 19990517 | AU 1998-96480   | 19981026 <-- |
| JP 11193281            | A  | 19990721 | JP 1998-303623  | 19981026 <-- |
| EP 1027050             | A2   | 20000816 | EP 1998-950388  | 19981026 <-- |
| EP 1027050             | B1   | 20040114 |                 |              |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI   |          |                 |              |
| AT 257703              | T  | 20040115 | AT 1998-950388  | 19981026     |
| US 6436966             | B1   | 20020820 | US 2000-463639  | 20000127 <-- |
| US 6620825             | B1   | 20030916 | US 2002-161181  | 20020603 <-- |
| PRIORITY APPLN. INFO.: |  |          | JP 1997-294485  | A 19971027   |
|                        |  |          | WO 1998-JP4837  | W 19981026   |
|                        |  |          | US 2000-463639  | A3 20000127  |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
OTHER SOURCE(S): MARPAT 130:338100

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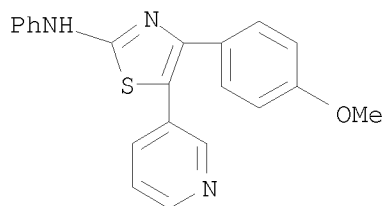
AB The title compds. [I; R1 = H, alkyl, (un)substituted heterocyclyl, etc.; at least one of R2 and R3 = H, (un)substituted pyridyl, aryl, and the other = (un)substituted pyridyl; X = S which may be oxidized, O, NH, N(alkyl), N(acyl)] and their salts, useful as prophylactic and therapeutic agents for asthma, allergosis, inflammation, etc., were prepared and formulated. Thus, thiazole I [R1 = NHCOMe; R2 = 3-pyridyl; R3 = 4-MeOC6H4; X = S] which showed IC50 of 0.27 nM against adenosine A3 receptor binding, was prepared in 82% yield starting with [(4-methoxyphenyl)-5-(3-pyridyl)-1,3-thiazol-2-yl]amine.

IT 97422-54-3P 97422-55-4P 97422-56-5P  
224038-79-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of thiazoles as adenosine A3 receptor antagonists)

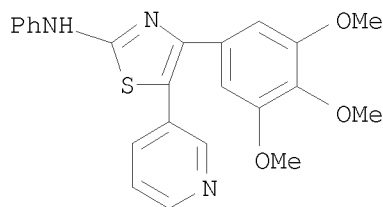
RN 97422-54-3 HCAPLUS

CN 2-Thiazolamine, 4-(4-methoxyphenyl)-N-phenyl-5-(3-pyridinyl)- (CA INDEX NAME)



RN 97422-55-4 HCAPLUS

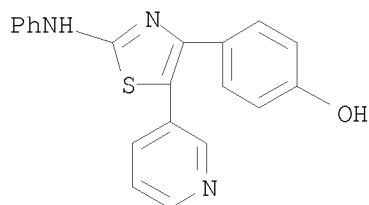
CN 2-Thiazolamine, N-phenyl-5-(3-pyridinyl)-4-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



RN 97422-56-5 HCAPLUS

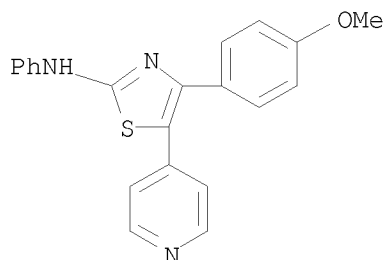
10578826a

CN Phenol, 4-[2-(phenylamino)-5-(3-pyridinyl)-4-thiazolyl]- (CA INDEX NAME)



RN 224038-79-3 HCAPLUS

CN 2-Thiazolamine, 4-(4-methoxyphenyl)-N-phenyl-5-(4-pyridinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS  
RECORD (26 CITINGS)  
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1985:454070 HCAPLUS

DOCUMENT NUMBER: 103:54070

ORIGINAL REFERENCE NO.: 103:8717a,8720a

TITLE: Preparation of 5-pyridyl-1,3-thiazole derivatives and  
their uses in pharmaceutical compositions

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO.                                    | KIND | DATE     | APPLICATION NO. | DATE         |
|---|------|----------|-----------------|--------------|
| JP 60058981                                   | A    | 19850405 | JP 1983-167042  | 19830909 <-- |
| EP 149884                                     | A2   | 19850731 | EP 1984-305789  | 19840823 <-- |
| EP 149884                                     | A3   | 19860730 |                 |              |
| EP 149884                                     | B1   | 19921216 |                 |              |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE |      |          |                 |              |
| AT 83483                                      | T    | 19930115 | AT 1984-305789  | 19840823 <-- |
| AU 8432433                                    | A    | 19850314 | AU 1984-32433   | 19840827 <-- |
| AU 567754                                     | B2   | 19871203 |                 |              |

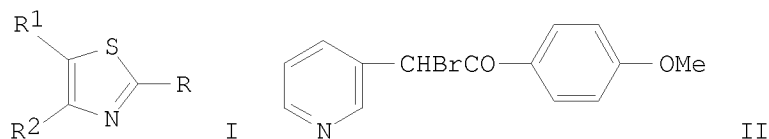


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|            |    |          |                |              |
|------------|----|----------|----------------|--------------|
| US 4612321 | A  | 19860916 | US 1984-647436 | 19840905 <-- |
| HU 37424   | A2 | 19851228 | HU 1984-3401   | 19840907 <-- |
| HU 201753  | B  | 19901228 |                |              |
| CA 1255663 | A1 | 19890613 | CA 1984-462626 | 19840907 <-- |

PRIORITY APPLN. INFO.: JP 1983-167042 A 19830909  
JP 1984-77819 A 19840417  
EP 1984-305789 A 19840823

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
OTHER SOURCE(S): CASREACT 103:54070  
GI

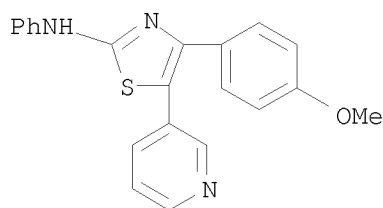


AB The title thiazole derivs. (I; R = cycloalkyl, cyclic amino, amino substituted with alkyl, Ph, Ac, etc., alkyl substituted with HO, CO<sub>2</sub>H, alkoxy, carbonyl, etc., aryl; R<sup>1</sup> = pyridyl optionally substituted with alkyl; R<sup>2</sup> = Ph optionally substituted with alkoxy, alkyl, HO, halo, or methylenedioxy) and their salts, useful in pharmaceutical compns., were prepared I were effective antiinflammation in rats, analgesics at 25-50 mg/kg in mice, and antiulcers at 50 mg/kg in rats. Thus, 0.4 mL Et<sub>3</sub>N was added to a suspension of 242 mg MeNHCSNH<sub>2</sub> and 1.0 g II·HBr in MeCN and refluxed 3 h to give 85% I (R = MeNH, R<sup>1</sup> = 3-pyridyl, R<sup>1</sup> = 4-MeOC<sub>6</sub>H<sub>4</sub>).

IT 97422-54-3P 97422-55-4P 97422-56-5P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 97422-54-3 HCAPLUS

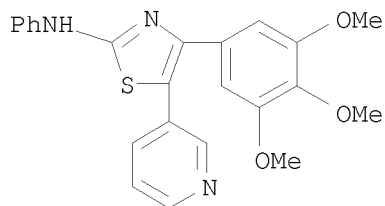
CN 2-Thiazolamine, 4-(4-methoxyphenyl)-N-phenyl-5-(3-pyridinyl)- (CA INDEX NAME)



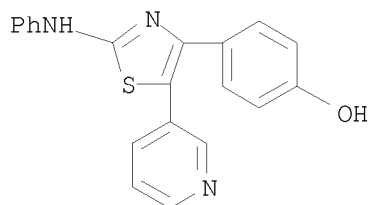
RN 97422-55-4 HCAPLUS

CN 2-Thiazolamine, N-phenyl-5-(3-pyridinyl)-4-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)

10578826a



RN 97422-56-5 HCAPLUS  
CN Phenol, 4-[2-(phenylamino)-5-(3-pyridinyl)-4-thiazolyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(6 CITINGS)

L12 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1985:45931 HCAPLUS

DOCUMENT NUMBER: 102:45931

ORIGINAL REFERENCE NO.: 102:7229a,7232a

TITLE: Thiazole derivatives, and pharmaceutical compositions comprising them

INVENTOR(S): Takaya, Takao; Takasugi, Hisashi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd. , Japan

SOURCE: Eur. Pat. Appl., 35 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

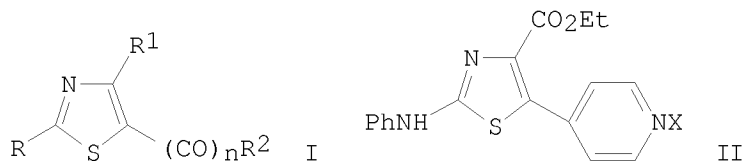
PATENT INFORMATION:

| PATENT NO.                                    | KIND | DATE     | APPLICATION NO. | DATE         |
|---|------|----------|-----------------|--------------|
| EP 117082                                     | A2   | 19840829 | EP 1984-300575  | 19840130 <-- |
| EP 117082                                     | A3   | 19870415 |                 |              |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE |      |          |                 |              |
| US 4649146                                    | A    | 19870310 | US 1984-574517  | 19840127 <-- |
| DK 8400410                                    | A    | 19840801 | DK 1984-410     | 19840130 <-- |
| JP 59193878                                   | A    | 19841102 | JP 1984-16887   | 19840131 <-- |
| JP 05079677                                   | B    | 19931104 |                 |              |
| US 4735957                                    | A    | 19880405 | US 1986-932097  | 19861118 <-- |
| PRIORITY APPLN. INFO.:                        |      |          | GB 1983-2591    | A 19830131   |
|   |      |          | GB 1983-25684   | A 19830926   |
|   |      |          | US 1984-574517  | A3 19840127  |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 102:45931

GI



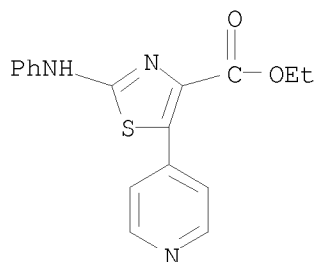
AB Blood pressure regulating, cardiogenic, and antiulcer thiazoles I [R = H, OH, alkyl, pyridyl, (un)substituted amino, guanidino; R<sup>1</sup> = alkyl, carboxy, carboxy derivs., CH<sub>2</sub>OH, CH:NOH, halomethyl, alkylthiomethyl, (un)substituted alkenyl; R<sup>2</sup> = alkyl, haloalkyl, (un)substituted N-containing heterocyclyl; n = 0, 1] were prepared (about 130 compds.). Thus R<sup>3</sup>CH<sub>2</sub>COCO<sub>2</sub>Et (R<sup>3</sup> = pyridine-N-oxide-4-yl) was chlorinated and treated with PhNHCSNH<sub>2</sub> to give the cyclocondensation product, thiazole II (X = O). Treating II (X = O) with PCl<sub>3</sub> gave the deoxygenated product II (X = electron pair) (III). At 1 mg/kg i.v. in Heidenhain pouch dogs, III gave 95.1% inhibition of acid output.

IT 94284-73-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and antiulcer activity of)

RN 94284-73-8 HCAPLUS

CN 4-Thiazolecarboxylic acid, 2-(phenylamino)-5-(4-pyridinyl)-, ethyl ester (CA INDEX NAME)



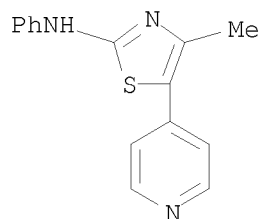
IT 94284-34-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and cardiogenic activity of)

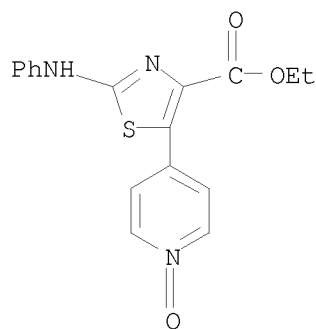
RN 94284-34-1 HCAPLUS

CN 2-Thiazolamine, 4-methyl-N-phenyl-5-(4-pyridinyl)- (CA INDEX NAME)

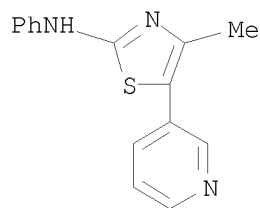
10578826a



IT 94284-53-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and deoxygenation of, with phosphorus trichloride)  
RN 94284-53-4 HCAPLUS  
CN 4-Thiazolecarboxylic acid, 5-(1-oxido-4-pyridinyl)-2-(phenylamino)-, ethyl  
ester (CA INDEX NAME)



IT 94284-35-2P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 94284-35-2 HCAPLUS  
CN 2-Thiazolamine, 4-methyl-N-phenyl-5-(3-pyridinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS  
RECORD (17 CITINGS)

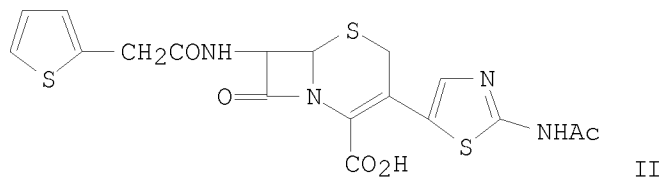
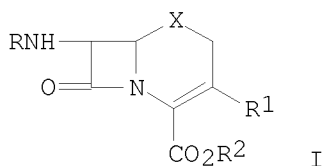
L12 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 1983:422230 HCAPLUS  
DOCUMENT NUMBER: 99:22230  
ORIGINAL REFERENCE NO.: 99:3585a,3588a

10578826a

TITLE: Cephalosporin derivatives  
 INVENTOR(S): Farge, Daniel; Le Roy, Pierre; Moutonnier, Claude;  
 Peyronel, Jean Francois; Plau, Bernard  
 PATENT ASSIGNEE(S): Rhone-Poulenc Sante, Fr.  
 SOURCE: Eur. Pat. Appl., 73 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.                                    | KIND | DATE     | APPLICATION NO. | DATE         |
|---|------|----------|-----------------|--------------|
| EP 72756                                      | A1   | 19830223 | EP 1982-401532  | 19820813 <-- |
| EP 72756                                      | B1   | 19851023 |                 |              |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE |      |          |                 |              |
| FR 2511376                                    | A1   | 19830218 | FR 1981-15805   | 19810817 <-- |
| FR 2511376                                    | B1   | 19831110 |                 |              |
| AT 16186                                      | T    | 19851115 | AT 1982-401532  | 19820813 <-- |
| DK 8203669                                    | A    | 19830218 | DK 1982-3669    | 19820816 <-- |
| JP 58039686                                   | A    | 19830308 | JP 1982-142001  | 19820816 <-- |
| HU 27937                                      | A2   | 19831128 | HU 1982-2629    | 19820816 <-- |
| HU 187404                                     | B    | 19860128 |                 |              |
| US 4526962                                    | A    | 19850702 | US 1982-408712  | 19820816 <-- |
| CA 1197233                                    | A1   | 19851126 | CA 1982-409545  | 19820816 <-- |
| PRIORITY APPLN. INFO.:                        |      |          | FR 1981-15805   | A 19810817   |
|   |      |          | EP 1982-401532  | A 19820813   |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 99:22230  
 GI



AB The cepheems I (X = S, SO, O; R = acyl, sulfonyl; R1 = CHR3CHO; R2 = protective group; R3 = halogen) were prepared Thus I (X = S, R = Me3CO2C, R1 = CH:CHNMe2, R2 = CHPh2) was brominated to give I (X = S, R = Me3CO2C, R1 = CHBrCHO, R2 = CHPh2) as a mixture of epimers which was cyclized with AcNHCSNH2, deblocked, acetylated with 2-thienylacetyl chloride, and hydrolyzed to give II.

IT 86109-06-0P

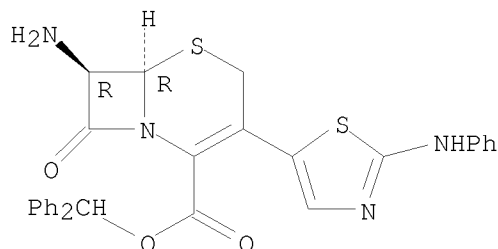
10578826a

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and acylation of)

RN 86109-06-0 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-amino-8-oxo-3-[2-(phenylamino)-5-thiazolyl]-, diphenylmethyl ester,  
(6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



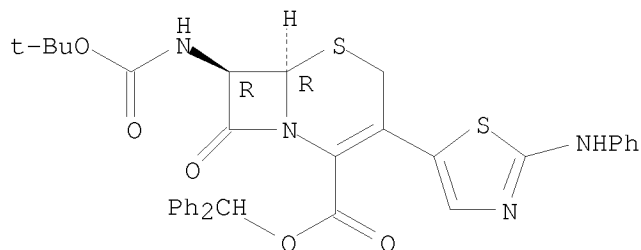
IT 86109-05-9P 86109-07-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and hydrolysis of)

RN 86109-05-9 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[ (1,1-dimethylethoxy)carbonyl]amino]-8-oxo-3-[2-(phenylamino)-5-  
thiazolyl]-, diphenylmethyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

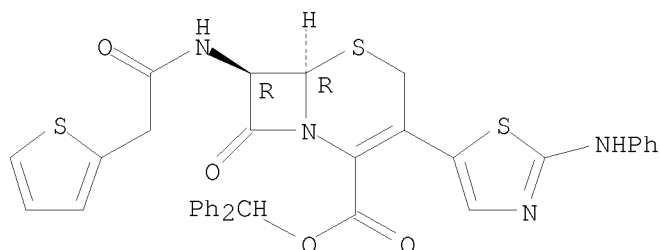


RN 86109-07-1 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
8-oxo-3-[2-(phenylamino)-5-thiazolyl]-7-[(2-thienylacetyl)amino]-,  
diphenylmethyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

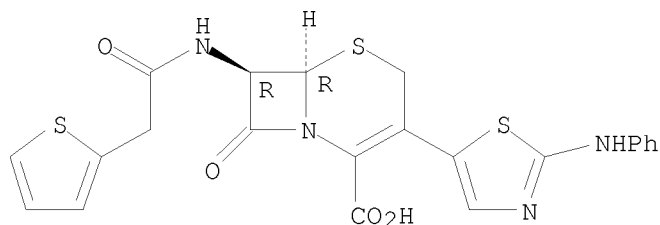
Absolute stereochemistry.

10578826a



IT 86114-45-6P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 86114-45-6 HCAPLUS  
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
8-oxo-3-[2-(phenylamino)-5-thiazolyl]-7-[(2-thienylacetyl)amino]-,  
(6R-trans)- (9CI) (CA INDEX NAME)

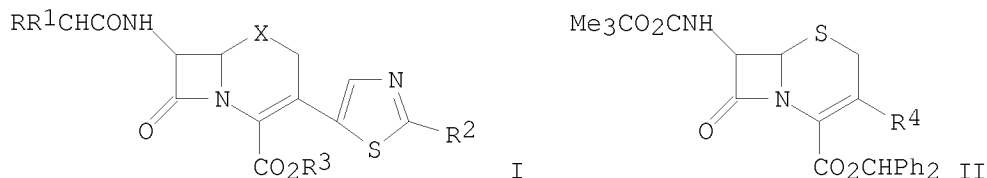
Absolute stereochemistry.



L12 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 1983:422225 HCAPLUS  
DOCUMENT NUMBER: 99:22225  
ORIGINAL REFERENCE NO.: 99:3585a,3588a  
TITLE: Cephalosporin derivatives and pharmaceutical  
compositions containing them  
INVENTOR(S): Farge, Daniel; Le Roy, Pierre; Moutonnier, Claude;  
Peyronel, Jean Francois; Plau, Bernard  
PATENT ASSIGNEE(S): Rhone-Poulenc Sante, Fr.  
SOURCE: Eur. Pat. Appl., 126 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

| PATENT NO.                                    | KIND | DATE     | APPLICATION NO. | DATE         |
|---|------|----------|-----------------|--------------|
| EP 72755                                      | A1   | 19830223 | EP 1982-401531  | 19820813 <-- |
| EP 72755                                      | B1   | 19850821 |                 |              |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE |      |          |                 |              |
| FR 2511375                                    | A1   | 19830218 | FR 1981-15804   | 19810817 <-- |
| FR 2511375                                    | B1   | 19831110 |                 |              |
| AT 15045                                      | T    | 19850915 | AT 1982-401531  | 19820813 <-- |

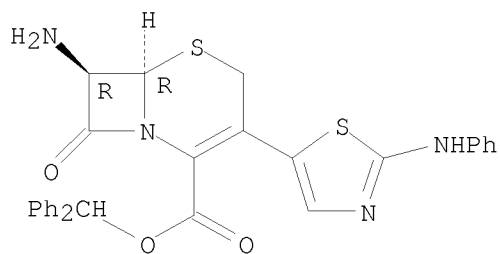
JP 58041886 A 19830311 JP 1982-142002 19820816 <--  
 US 4496560 A 19850129 US 1982-408676 19820816 <--  
 PRIORITY APPLN. INFO.: FR 1981-15804 A 19810817  
 EP 1982-401531 A 19820813  
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): CASREACT 99:22225; MARPAT 99:22225  
 GI



AB Cephalosporins I (R = furyl, thienyl, 2-oxo-1,3-dithiol-4-yl, Ph, 4-HOC6H4, PhO, Cl2C6H3S; R1 = H, NH2; R2 = H, alkylthio, amino, pyridiniumylmethyl; R3 = H; X = O, S) were prepared Thus II (R4 = CH:CHNMe2) was brominated to give II (R4 = CHBrCHO) which was cyclized with AcNHCSNH2 to give II (R4 = 2-acetylamino-5-thiazolyl). The latter compound was deblocked and acylated to give I (R = 2-thienyl, R1 = H, R2 = NHAc, R3 = CHPh2, X = S) which was hydrolyzed to the acid with HCO2H.

IT 86109-06-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and acylation of)  
 RN 86109-06-0 HCAPLUS  
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-amino-8-oxo-3-[2-(phenylamino)-5-thiazolyl]-, diphenylmethyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

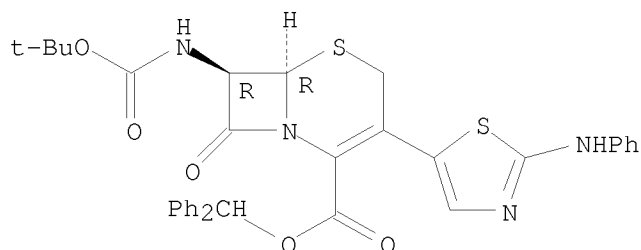


IT 86109-05-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and deblocking of)  
 RN 86109-05-9 HCAPLUS  
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(1,1-dimethylethoxy)carbonyl]amino]-8-oxo-3-[2-(phenylamino)-5-thiazolyl]-, diphenylmethyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

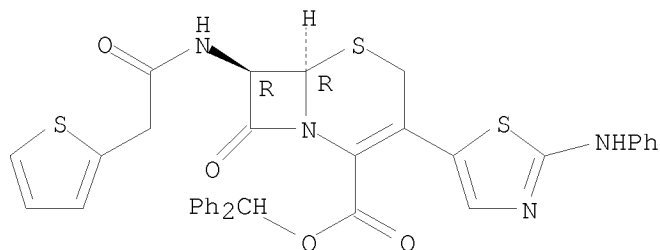


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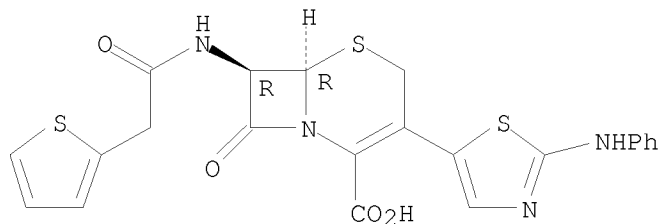
IT 86109-07-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and hydrolysis of)  
RN 86109-07-1 HCAPLUS  
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
8-oxo-3-[2-(phenylamino)-5-thiazolyl]-7-[(2-thienylacetyl)amino]-,  
diphenylmethyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 86114-45-6P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 86114-45-6 HCAPLUS  
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
8-oxo-3-[2-(phenylamino)-5-thiazolyl]-7-[(2-thienylacetyl)amino]-,  
(6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

10578826a

L12 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1971:87957 HCAPLUS  
DOCUMENT NUMBER: 74:87957  
ORIGINAL REFERENCE NO.: 74:14273a,14276a  
TITLE: 2-(Lithiummethyl)-4,5-dianisylthiazole  
INVENTOR(S): Lednicer, Daniel  
PATENT ASSIGNEE(S): Upjohn Co.  
SOURCE: U.S., 7 pp. Division of U.S. 3,458,526  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE         |
|------------|------|----------|-----------------|--------------|
| US 3560514 | A    | 19710202 | US 1968-768519  | 19681017 <-- |

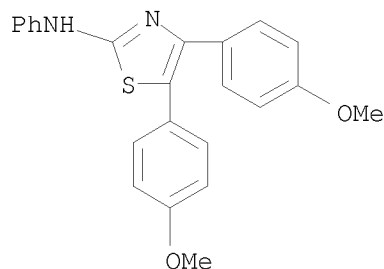
PRIORITY APPLN. INFO.: US 1968-768519 A 19681017

AB The disclosure is the same, but the claims are different.

IT 24827-43-8P, Thiazole, 2-anilino-4,5-bis(p-methoxyphenyl)-  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 24827-43-8 HCAPLUS

CN 2-Thiazolamine, 4,5-bis(4-methoxyphenyl)-N-phenyl- (CA INDEX NAME)



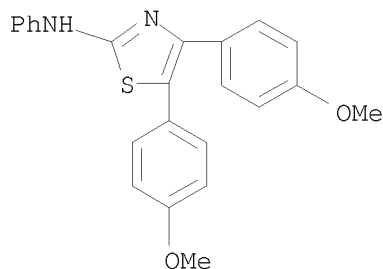
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L12 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1971:87951 HCAPLUS  
DOCUMENT NUMBER: 74:87951  
ORIGINAL REFERENCE NO.: 74:14273a,14276a  
TITLE: 2-Substituted-4,5-dianisylthiazoles  
INVENTOR(S): Lednicer, Daniel  
PATENT ASSIGNEE(S): Upjohn Co.  
SOURCE: U.S., 7 pp. Division of U.S. 3,458,526  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

US 3558644 A 19710126 US 1968-768538 19681017 <--  
 PRIORITY APPLN. INFO.: US 1968-768538 A 19681017  
 AB The disclosure is the same, but the claims are different.  
 IT 24827-43-8P, Thiazole, 2-anilino-4,5-bis(p-methoxyphenyl)-  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 24827-43-8 HCAPLUS  
 CN 2-Thiazolamine, 4,5-bis(4-methoxyphenyl)-N-phenyl- (CA INDEX NAME)



L12 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1970:31777 HCAPLUS  
 DOCUMENT NUMBER: 72:31777  
 ORIGINAL REFERENCE NO.: 72:5821a,5824a  
 TITLE: 2-Amino-4,5-bis(p-methoxyphenyl)thiazoles useful for  
 treating inflammatory conditions and in antiviral  
 applications  
 INVENTOR(S): Lednicer, Daniel  
 PATENT ASSIGNEE(S): Upjohn Co.  
 SOURCE: U.S., 7 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE         |
|------------------------|------|----------|-----------------|--------------|
| US 3458526             | A    | 19690729 | US 1966-581747  | 19660926 <-- |
| GB 1188846             | A    | 19700422 | GB 1967-1188846 | 19670905 <-- |
| FR 1557679             | A    | 19690221 | FR 1967-1557679 | 19670925 <-- |
| BE 704312              | A    | 19680326 | BE 1967-704312  | 19670926 <-- |
| PRIORITY APPLN. INFO.: |      |          | US 1966-581747  | A 19660926   |

GI For diagram(s), see printed CA Issue.  
 AB Title compds. (I) are prepared by reacting  $\alpha$ -bromodeoxyanisoin (II) with a thioamide. Thioureas are prepared by known means, e.g. reacting an amine with CS<sub>2</sub> in the presence of a base, e.g. Et<sub>3</sub>N, followed by ClCO<sub>2</sub>Et to give the isothiocyanate and treating this with NH<sub>3</sub> to give the corresponding thiourea, e.g. decylthiourea, m. 94-9° (MeOH); p-anisylthiourea, m. 208-10.5° (MeOH); p-carbethoxyphenylthiourea, m. 149-51° (Skellysolve B); and p-chlorobenzylthiourea, m. 136-9° (Me<sub>2</sub>CO-Skellysolve B). II (10 g) and 2.30 g thiourea in 150 ml absolute EtOH is refluxed 3.5 hr to give 7.66 g I, m. 209-10.5° (Me<sub>2</sub>CO). Also prepared were the following I (R and m.p. given): Bu,

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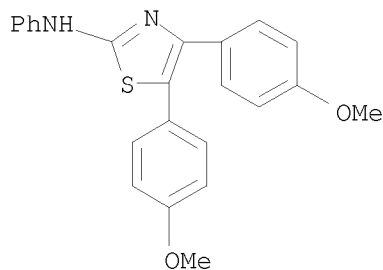
155-8° (Skellysolve B); decyl, 79-2° (aqueous MeOH); allyl, 128-31° (aqueous MeOH); p-chlorobenzyl, 182-5° (MeCN); Ph, 175-8° (aqueous MeOH); p-methoxyphenyl, 182-5.5° (aqueous Me<sub>2</sub>CO); p-carbethoxyphenyl, 144-8° (aqueous EtOH); Ac, 193-5° (aqueous MeOH); Bz,; p-methoxybenzoyl. Other compds. are disclosed but not characterized.

IT 24827-43-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 24827-43-8 HCAPLUS

CN 2-Thiazolamine, 4,5-bis(4-methoxyphenyl)-N-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)

=> log y

COST IN U.S. DOLLARS

| SINCE FILE | TOTAL   |
|------------|---------|
| ENTRY      | SESSION |
| 110.13     | 484.99  |

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

| SINCE FILE | TOTAL   |
|------------|---------|
| ENTRY      | SESSION |
| -13.94     | -13.94  |

CA SUBSCRIBER PRICE

STN INTERNATIONAL LOGOFF AT 12:57:50 ON 23 NOV 2009